

**REMARKS**

The amendments to Claim 13 incorporate the limitations of cancelled Claim 1.

Claims 13-21 remain in the Application.

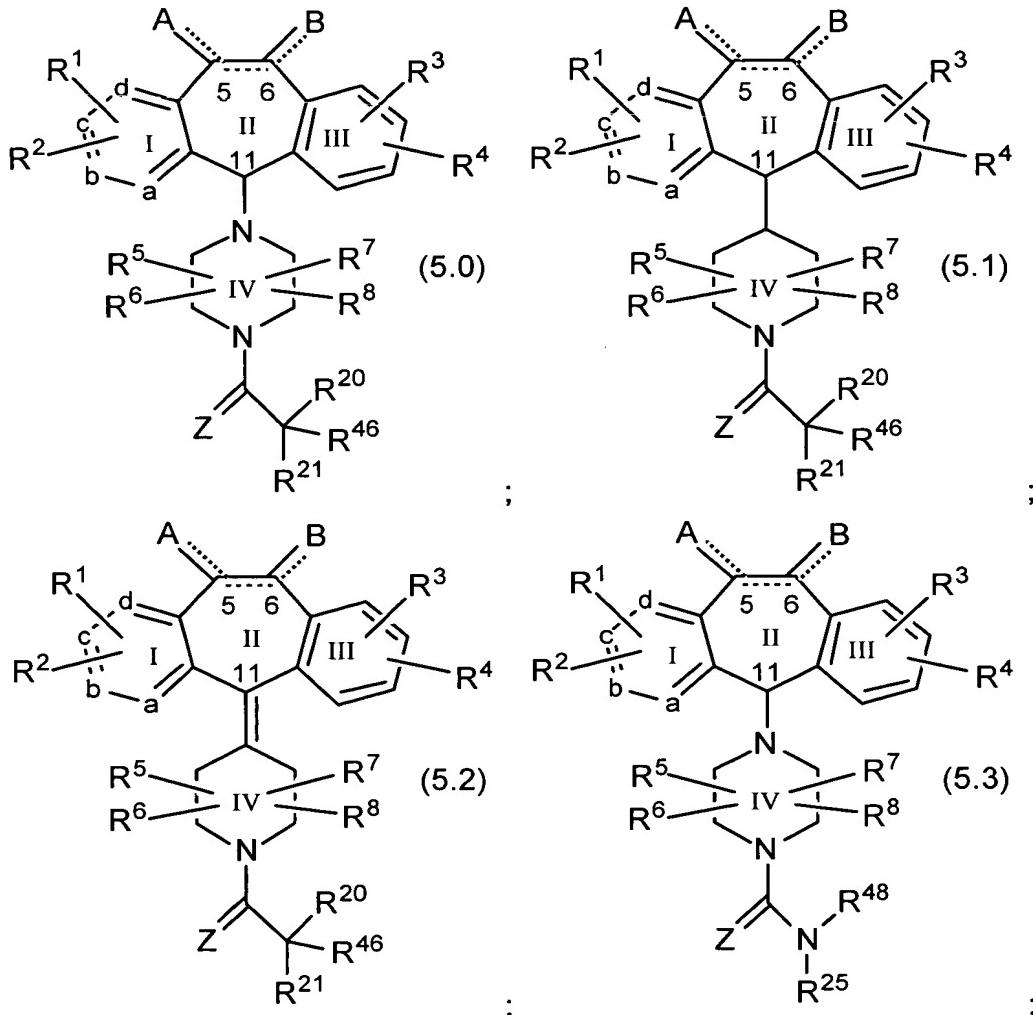
Respectfully submitted,

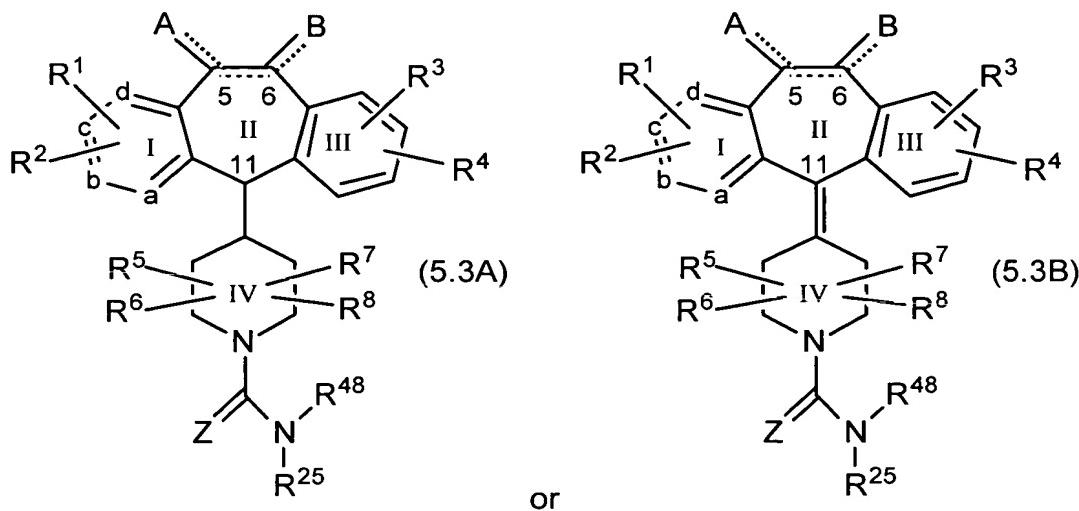
  
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Doc. No. 21336v1

**Claim Showing Amendments Made**

13. (AMENDED) A compound selected from a compound of the formula:

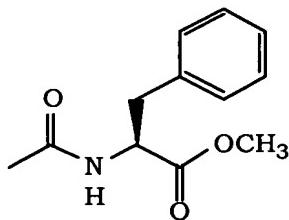




or a pharmaceutically acceptable salt or solvate thereof, wherein :

one of a, b, c and d represents N or NR<sup>9</sup> wherein R<sup>9</sup> is O<sup>-</sup>, -CH<sub>3</sub> or -(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H wherein n is 1 to 3, and the remaining a, b, c and d groups represent CR<sup>1</sup> or CR<sup>2</sup>; or

each of a, b, c, and d are independently selected from CR<sup>1</sup> or CR<sup>2</sup>;  
each R<sup>1</sup> and each R<sup>2</sup> is independently selected from H, halo, -CF<sub>3</sub>,  
-OR<sup>10</sup>, -COR<sup>10</sup>, -SR<sup>10</sup>, -S(O)<sub>t</sub>R<sup>11</sup> (wherein t is 0, 1 or 2), -SCN, -N(R<sup>10</sup>)<sub>2</sub>,  
-NO<sub>2</sub>, -OC(O)R<sup>10</sup>, -CO<sub>2</sub>R<sup>10</sup>, -OCO<sub>2</sub>R<sup>11</sup>, -CN, -NHC(O)R<sup>10</sup>, -NHSO<sub>2</sub>R<sup>10</sup>,  
-CONHR<sup>10</sup>, -CONHCH<sub>2</sub>CH<sub>2</sub>OH, -NR<sup>10</sup>COOR<sup>11</sup>, -SR<sup>11</sup>C(O)OR<sup>11</sup>,



-SR<sup>11</sup>N(R<sup>75</sup>)<sub>2</sub> (wherein each R<sup>75</sup> is independently selected from H and -C(O)OR<sup>11</sup>), benzotriazol-1-yloxy, tetrazol-5-ylthio, or substituted tetrazol-5-ylthio, alkynyl, alkenyl or alkyl, said alkyl or alkenyl group optionally being substituted with halo, -OR<sup>10</sup> or -CO<sub>2</sub>R<sup>10</sup>:

R<sup>3</sup> and R<sup>4</sup> are the same or different and each independently represents H, any of the substituents of R<sup>1</sup> and R<sup>2</sup>, or R<sup>3</sup> and R<sup>4</sup> taken together represent a saturated or unsaturated C<sub>5</sub>-C<sub>7</sub> fused ring to the benzene ring;

R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> each independently represents H, -CF<sub>3</sub>, -COR<sup>10</sup>, alkyl or aryl, said alkyl or aryl optionally being substituted with -OR<sup>10</sup>,

-SR<sup>10</sup>, -S(O)<sub>t</sub>R<sup>11</sup>, -NR<sup>10</sup>COOR<sup>11</sup>, -N(R<sup>10</sup>)<sub>2</sub>, -NO<sub>2</sub>, -COR<sup>10</sup>, -OCOR<sup>10</sup>,  
-OCO<sub>2</sub>R<sup>11</sup>, -CO<sub>2</sub>R<sup>10</sup>, OPO<sub>3</sub>R<sup>10</sup> or one of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> can be taken  
in combination with R<sup>40</sup> as defined below to represent -(CH<sub>2</sub>)<sub>r</sub>- wherein r is  
1 to 4 which can be substituted with lower alkyl, lower alkoxy, -CF<sub>3</sub> or aryl,  
or R<sup>5</sup> is combined with R<sup>6</sup> to represent =O or =S and/or R<sup>7</sup> is combined  
with R<sup>8</sup> to represent =O or =S;

R<sup>10</sup> represents H, alkyl, aryl, or aralkyl;

R<sup>11</sup> represents alkyl or aryl;

X represents N, CH or C, which C may contain an optional double  
bond, represented by the dotted line, to carbon atom 11;

the dotted line between carbon atoms 5 and 6 represents an  
optional double bond, such that when a double bond is present, A and B  
independently represent -R<sup>10</sup>, halo, -OR<sup>11</sup>, -OCO<sub>2</sub>R<sup>11</sup> or -OC(O)R<sup>10</sup>, and  
when no double bond is present between carbon atoms 5 and 6, A and B  
each independently represent H<sub>2</sub>, -(OR<sup>11</sup>)<sub>2</sub>; H and halo, dihalo, alkyl and  
H, (alkyl)<sub>2</sub>, -H and -OC(O)R<sup>10</sup>, H and -OR<sup>10</sup>, =O, aryl and H, =NOR<sup>10</sup> or  
-O-(CH<sub>2</sub>)<sub>p</sub>-O- wherein p is 2, 3 or 4;

R<sup>20</sup>, R<sup>21</sup> and R<sup>46</sup> are each independently selected from the group  
consisting of:

(1) H;

(2) -(CH<sub>2</sub>)<sub>q</sub>SC(O)CH<sub>3</sub> wherein q is 1 to 3;

(3) -(CH<sub>2</sub>)<sub>q</sub>OSO<sub>2</sub>CH<sub>3</sub> wherein q is 1 to 3;

(4) -OH;

(5) -CS(CH<sub>2</sub>)<sub>w</sub>(substituted phenyl) wherein w is 1 to 3 and the  
substituents on said substituted phenyl group are the same substituents  
as described below for said substituted phenyl;

(6) -NH<sub>2</sub>;

(7) -NHCbz;

(8) -NHC(O)OR<sup>22</sup> wherein R<sup>22</sup> is an alkyl group having from 1 to 5  
carbon atoms, or R<sup>22</sup> represents phenyl substituted with 1 to 3 alkyl  
groups;

(9) alkyl;

(10) -(CH<sub>2</sub>)<sub>k</sub>phenyl wherein k is 1 to 6;

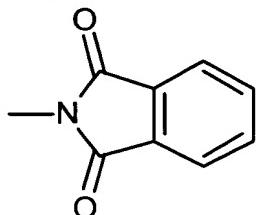
(11) phenyl;

(12) substituted phenyl wherein the substituents are selected from  
the group consisting of: halo, NO<sub>2</sub>, -OH, -OCH<sub>3</sub>, -NH<sub>2</sub>, -NHR<sup>22</sup>, -N(R<sup>22</sup>)<sub>2</sub>,

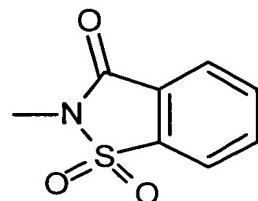
alkyl, -O(CH<sub>2</sub>)<sub>t</sub>phenyl (wherein t is from 1 to 3), and -O(CH<sub>2</sub>)<sub>t</sub>substituted phenyl (wherein t is from 1 to 3);

- (13) naphthyl;
  - (14) substituted naphthyl, wherein the substituents are as defined for substituted phenyl above;
  - (15) bridged polycyclic hydrocarbons having from 5 to 10 carbon atoms;
  - (16) cycloalkyl having from 5 to 7 carbon atoms;
  - (17) heteroaryl;
  - (18) hydroxyalkyl;
  - (19) substituted pyridyl or substituted pyridyl N-oxide wherein the substituents are selected from methylpyridyl, morpholinyl, imidazolyl, 1-piperidinyl, 1-(4-methylpiperazinyl), -S(O)<sub>2</sub>R<sup>11</sup>, or any of the substituents given above for said substituted phenyl, and said substituents are bound to a ring carbon by replacement of the hydrogen bound to said carbon;

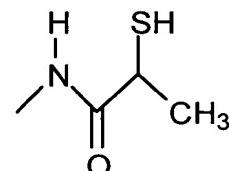
(20)



(21)

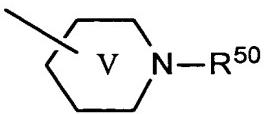


(22)



(23) -NHC(O)-(CH<sub>2</sub>)<sub>k</sub>-phenyl or -NH(O)-(CH<sub>2</sub>)<sub>k</sub>-substituted phenyl,  
wherein said k is as defined above;

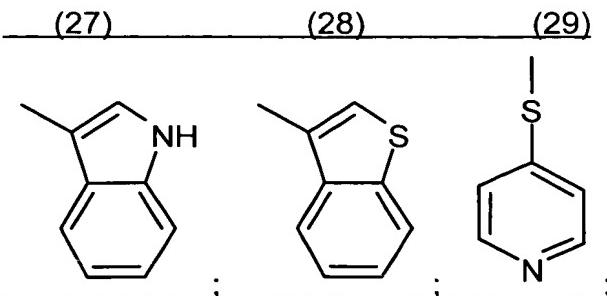
## (24) piperidine Ring V:



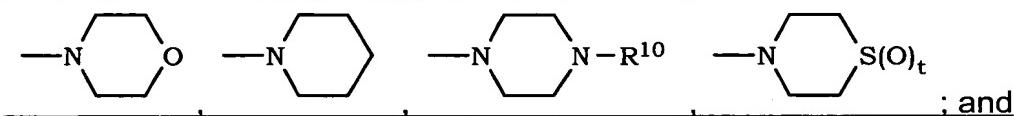
wherein R<sup>50</sup> represents H, alkyl, alkylcarbonyl, alkyloxycarbonyl, haloalkyl, or -C(O)NH(R<sup>10</sup>) wherein R<sup>10</sup> is H or alkyl;

(25) -NHC(O)CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub> or -NHC(O)CH<sub>2</sub>-substituted-C<sub>6</sub>H<sub>5</sub>:

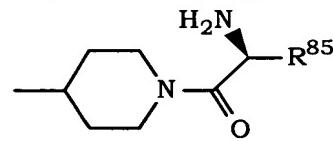
(26) -NHC(O)OC<sub>6</sub>H<sub>5</sub>



- (30) -OC(O)-heteroaryl;  
(31) -O-alkyl; and  
(32) -CF<sub>3</sub>;  
(33) -CN;  
(34) a heterocycloalkyl group of the formula

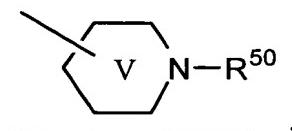


- (35) a piperidinyl group of the formula



wherein R<sup>85</sup> is H, alkyl, or alkyl substituted by -OH or -SCH<sub>3</sub>; or  
R<sup>20</sup> and R<sup>21</sup> taken together form a =O group and the remaining R<sup>46</sup>  
is as defined above; or

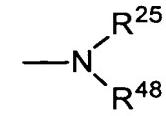
Two of R<sup>20</sup>, R<sup>21</sup> and R<sup>46</sup> taken together form piperidine Ring V



wherein R<sup>50</sup> is as defined above;

with the proviso that R<sup>46</sup>, R<sup>20</sup> and R<sup>21</sup> are selected such that the  
carbon atom to which they are bound does not contain more than one  
heteroatom;

R<sup>44</sup> represents



wherein R<sup>25</sup> represents heteroaryl, N-methylpiperidinyl or aryl; and R<sup>48</sup>  
represents H or alkyl;

Z represents O or S; and

[all the substituents are as defined in Claim 1, and] wherein for the compounds of Formula 5.2 the substituents R<sup>20</sup>, R<sup>21</sup>, and R<sup>46</sup> are selected such that when one of said substituents R<sup>20</sup>, R<sup>21</sup>, and R<sup>46</sup> is selected from the group consisting of: (1) H, (4) -OH, (6) -NH<sub>2</sub>, (8) -NHC(O)OR<sup>22</sup>, (9) alkyl, (11) phenyl, (17) heteroaryl, (18) hydroxyalkyl, (19) substituted pyridyl, (12) substituted phenyl and (31) -O-alkyl, then the remaining two of said substituents R<sup>20</sup>, R<sup>21</sup> and R<sup>46</sup> cannot both be H when: (a) R<sup>1</sup> and R<sup>2</sup> are both H, and (b) the double bond between C-5 and C-6 is absent, and (c) both A and B are H<sub>2</sub>, and (d) R<sup>4</sup> is H, and (e) R<sup>3</sup> is H or Cl at C-8.

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